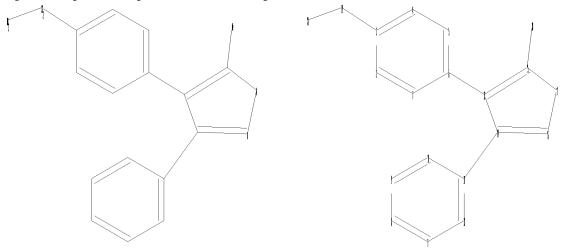
Uploading C:\Program Files\Stnexp\Queries\10510333.str



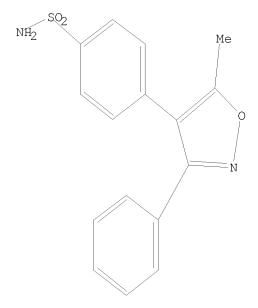
chain nodes : 18 19 20 ring nodes : 1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 chain bonds : 3-19 6-13 11-14 15-18 19-20 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-1514-16 15-17 16-17 exact/norm bonds : 13-14 13-15 14-16 15-17 16-17 19-20 exact bonds : 3-19 6-13 11-14 15-18 normalized bonds :  $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 7-8 \quad 7-12 \quad 8-9 \quad 9-10 \quad 10-11 \quad 11-12$ 

## Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS 20:CLASS

## L1 STRUCTURE UPLOADED

=> d L1 HAS NO ANSWERS L1 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s 11 full
FULL SEARCH INITIATED 08:36:54 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1043 TO ITERATE
```

100.0% PROCESSED 1043 ITERATIONS 162 ANSWERS SEARCH TIME: 00.00.01

L2 162 SEA SSS FUL L1

=> d 12 1-10

- L2 ANSWER 1 OF 162 REGISTRY COPYRIGHT 2008 ACS on STN
- RN 1027903-23-6 REGISTRY
- ED Entered STN: 13 Jun 2008
- CN INDEX NAME NOT YET ASSIGNED
- MF C17 H17 N3 O6 S2
- SR Other Sources

Database: ChemSpider (ChemZoo, Inc.)

$$\begin{array}{c|c} O & O & O \\ O & S - NH_2 \\ O & O \\ Me & O \\ Me & O \\ Me & O \\ O & O \\ O & O \\ \end{array}$$

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 ANSWER 2 OF 162 REGISTRY COPYRIGHT 2008 ACS on STN

RN 1026800-82-7 REGISTRY

ED Entered STN: 09 Jun 2008

CN Benzenesulfonamide, 4-[5-methyl-3-(2,4,6-trimethoxyphenyl)-4-isoxazolyl]-(CA INDEX NAME)

MF C19 H20 N2 O6 S

SR Other Sources

Database: ChemSpider (ChemZoo, Inc.)

### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L2 ANSWER 3 OF 162 REGISTRY COPYRIGHT 2008 ACS on STN

RN 958643-42-0 REGISTRY

ED Entered STN: 18 Dec 2007

CN  $\gamma$ -Cyclodextrin, compd. with 4-(5-methyl-3-phenyl-4-isoxazolyl)benzenesulfonamide (6:1) (CA INDEX NAME)

FS STEREOSEARCH

MF C48 H80 O40 . 1/6 C16 H14 N2 O3 S

SR CA

LC STN Files: CA, CAPLUS

CM 1

CRN 181695-72-7 CMF C16 H14 N2 O3 S

CM 2

CRN 17465-86-0 CMF C48 H80 O40

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L2 ANSWER 4 OF 162 REGISTRY COPYRIGHT 2008 ACS on STN
- RN 958643-41-9 REGISTRY
- ED Entered STN: 18 Dec 2007

CN  $\beta\text{-Cyclodextrin, compd.}$  with 4-(5-methyl-3-phenyl-4-isoxazolyl)benzenesulfonamide (6:1) (CA INDEX NAME)

FS STEREOSEARCH

MF  $C42\ H70\ O35$  . 1/6 C16 H14 N2 O3 S

SR CA

LC STN Files: CA, CAPLUS

CM 1

CRN 181695-72-7 CMF C16 H14 N2 O3 S

CM 2

CRN 7585-39-9 CMF C42 H70 O35

Absolute stereochemistry.

НО ОН R R R S R S R R Η ОН НО O Η H Н R R ÒН R ОН RH R H R НО-НО R ОН S R Н Н ŅН HO R R S ОН ОН R НО HO' R) R R R, S R R НО ОН

ОН

H

ΟH

H

PAGE 1-A



1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 5 OF 162 REGISTRY COPYRIGHT 2008 ACS on STN

RN 943600-05-3 REGISTRY

ED Entered STN: 30 Jul 2007

CN Benzenesulfonamide, 4-[3-(2-chlorophenyl)-5-methyl-4-isoxazolyl]- (CA INDEX NAME)

MF C16 H13 C1 N2 O3 S

SR CA

LC STN Files: CA, CAPLUS, CASREACT

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 6 OF 162 REGISTRY COPYRIGHT 2008 ACS on STN

RN 937250-85-6 REGISTRY

ED Entered STN: 14 Jun 2007

CN Acetamide, N-(4-hydroxyphenyl)-, mixt. with 4-(5-methyl-3-phenyl-4-isoxazolyl)benzenesulfonamide (CA INDEX NAME)

OTHER NAMES:

CN Valcox plus

CN Valeron plus

MF C16 H14 N2 O3 S . C8 H9 N O2

CI MXS

SR CA

LC STN Files: CA, CAPLUS

CM 1

CRN 181695-72-7 CMF C16 H14 N2 O3 S

CM 2

CRN 103-90-2 CMF C8 H9 N O2

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 7 OF 162 REGISTRY COPYRIGHT 2008 ACS on STN

RN 935681-80-4 REGISTRY

ED Entered STN: 23 May 2007

CN  $\beta$ -Cyclodextrin, compd. with 4-(5-methyl-3-phenyl-4-isoxazolyl)benzenesulfonamide (1:?) (CA INDEX NAME)

FS STEREOSEARCH

MF C42 H70 O35 .  $\times$  C16 H14 N2 O3 S

SR CA

LC STN Files: CA, CAPLUS

CM 1

CRN 181695-72-7 CMF C16 H14 N2 O3 S

CM 2

CRN 7585-39-9 CMF C42 H70 O35

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 8 OF 162 REGISTRY COPYRIGHT 2008 ACS on STN

RN 887258-63-1 REGISTRY

ED Entered STN: 08 Jun 2006

CN 2H-1,2,4-Benzothiadiazine-7-sulfonamide, 6-chloro-3,4-dihydro-, 1,1-dioxide, mixt. with 4-(5-methyl-3-phenyl-4-isoxazolyl)benzenesulfonamide (9CI) (CA INDEX NAME)

MF C16 H14 N2 O3 S . C7 H8 C1 N3 O4 S2

CI MXS

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 181695-72-7 CMF C16 H14 N2 O3 S

CM 2

CRN 58-93-5

CMF C7 H8 C1 N3 O4 S2

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 9 OF 162 REGISTRY COPYRIGHT 2008 ACS on STN

RN 877140-46-0 REGISTRY

ED Entered STN: 17 Mar 2006

CN  $\beta$ -Cyclodextrin, compd. with 4-(5-methyl-3-phenyl-4-isoxazolyl) benzenesulfonamide (1:1) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C42 H70 O35 . C16 H14 N2 O3 S

SR CA

LC STN Files: CA, CAPLUS

CM 1

CRN 181695-72-7 CMF C16 H14 N2 O3 S

CM 2

CRN 7585-39-9 CMF C42 H70 O35

Absolute stereochemistry.

PAGE 1-A



2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 10 OF 162 REGISTRY COPYRIGHT 2008 ACS on STN

RN 862126-46-3 REGISTRY

ED Entered STN: 30 Aug 2005

CN Benzenesulfonamide, 4-[5-methyl-3-(2,4,6-trimethylphenyl)-4-isoxazolyl]-(CA INDEX NAME)

MF C19 H20 N2 O3 S

SR CA

LC STN Files: CA, CAPLUS, CASREACT

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> s 12 and valdecoxib?

4 VALDECOXIB?

L3 3 L2 AND VALDECOXIB?

=> d 13 1-3

L3 ANSWER 1 OF 3 REGISTRY COPYRIGHT 2008 ACS on STN

RN 676458-08-5 REGISTRY

ED Entered STN: 22 Apr 2004

CN Benzenesulfonamide, 4-(5-methyl-3-phenyl-4-isoxazolyl)-, sodium salt (1:1) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Benzenesulfonamide, 4-(5-methyl-3-phenyl-4-isoxazolyl)-, monosodium salt

(9CI)

OTHER NAMES:

CN Valdecoxib sodium

MF C16 H14 N2 O3 S . Na

SR CA

LC STN Files: CA, CAPLUS

CRN (181695-72-7)

Na

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 2 OF 3 REGISTRY COPYRIGHT 2008 ACS on STN

RN 676458-07-4 REGISTRY

ED Entered STN: 22 Apr 2004

CN Benzenesulfonamide, 4-(5-methyl-3-phenyl-4-isoxazolyl)-, potassium salt (1:1) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Benzenesulfonamide, 4-(5-methyl-3-phenyl-4-isoxazolyl)-, monopotassium salt (9CI)

OTHER NAMES:

CN Valdecoxib potassium

MF C16 H14 N2 O3 S . K

SR CA

LC STN Files: CA, CAPLUS

CRN (181695-72-7)

● K

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 3 OF 3 REGISTRY COPYRIGHT 2008 ACS on STN 181695-72-7 REGISTRY RN EDEntered STN: 10 Oct 1996 Benzenesulfonamide, 4-(5-methyl-3-phenyl-4-isoxazolyl)- (CA INDEX NAME) CN OTHER NAMES: CN 4-(5-Methyl-3-phenylisoxazol-4-yl)benzenesulfonamide CN Bextra SC 65872 CN Valdecoxib CN Valecoxib CN Valus CN CN Valz MFC16 H14 N2 O3 S CI COM SR CA ADISINSIGHT, ANABSTR, BIOSIS, BIOTECHNO, CA, CAPLUS, LC CASREACT, CBNB, CHEMCATS, CSCHEM, EMBASE, HSDB\*, IMSDRUGNEWS, IMSPATENTS, IMSPRODUCT, IMSRESEARCH, IPA, MRCK\*, PATDPASPC, PHAR, PROUSDDR, PS, RTECS\*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL (\*File contains numerically searchable property data)

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

837 REFERENCES IN FILE CA (1907 TO DATE)

33 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

844 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> s valdecoxib

L4 4 VALDECOXIB

=> d 14 1-4

L4 ANSWER 1 OF 4 REGISTRY COPYRIGHT 2008 ACS on STN

RN 676458-08-5 REGISTRY

ED Entered STN: 22 Apr 2004

CN Benzenesulfonamide, 4-(5-methyl-3-phenyl-4-isoxazolyl)-, sodium salt (1:1) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Benzenesulfonamide, 4-(5-methyl-3-phenyl-4-isoxazolyl)-, monosodium salt (9CI)

OTHER NAMES:

CN Valdecoxib sodium

MF C16 H14 N2 O3 S . Na

SR CA

LC STN Files: CA, CAPLUS

CRN (181695-72-7)

Na

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 2 OF 4 REGISTRY COPYRIGHT 2008 ACS on STN

RN 676458-07-4 REGISTRY

ED Entered STN: 22 Apr 2004

CN Benzenesulfonamide, 4-(5-methyl-3-phenyl-4-isoxazolyl)-, potassium salt (1:1) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Benzenesulfonamide, 4-(5-methyl-3-phenyl-4-isoxazolyl)-, monopotassium salt (9CI)

OTHER NAMES:

CN Valdecoxib potassium MF C16 H14 N2 O3 S . K

SR CA

LC STN Files: CA, CAPLUS

CRN (181695-72-7)

K

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 3 OF 4 REGISTRY COPYRIGHT 2008 ACS on STN

RN 181695-81-8 REGISTRY

ED Entered STN: 10 Oct 1996

CN Benzenesulfonamide, 4-[5-(hydroxymethyl)-3-phenyl-4-isoxazolyl]- (CA INDEX NAME)

OTHER NAMES:

CN 1-Hydroxyvaldecoxib

CN SC 66905

MF C16 H14 N2 O4 S

SR CA

LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPAT7, USPATFULL

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- 54 REFERENCES IN FILE CA (1907 TO DATE)
- 3 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
- 54 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L4 ANSWER 4 OF 4 REGISTRY COPYRIGHT 2008 ACS on STN
- RN 181695-72-7 REGISTRY
- ED Entered STN: 10 Oct 1996
- CN Benzenesulfonamide, 4-(5-methyl-3-phenyl-4-isoxazolyl)- (CA INDEX NAME) OTHER NAMES:
- CN 4-(5-Methyl-3-phenylisoxazol-4-yl)benzenesulfonamide
- CN Bextra
- CN SC 65872
- CN Valdecoxib
- CN Valecoxib
- CN Valus
- CN Valz
- MF C16 H14 N2 O3 S
- CI COM
- SR CA
- LC STN Files: ADISINSIGHT, ANABSTR, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CBNB, CHEMCATS, CSCHEM, EMBASE, HSDB\*, IMSDRUGNEWS, IMSPATENTS, IMSPRODUCT, IMSRESEARCH, IPA, MRCK\*, PATDPASPC, PHAR, PROUSDDR, PS, RTECS\*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL (\*File contains numerically searchable property data)

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- 837 REFERENCES IN FILE CA (1907 TO DATE)
- 33 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
- 844 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL

ENTRY SESSION 224.96 225.17

FULL ESTIMATED COST

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http://www.cas.org/legal/infopolicy.html

=> s 14

L5 846 L4

=> s 15 and ?crystal? 571556 ?CRYST

2075241 ?CRYSTAL? 571556 ?CRYST

373142 CRYST 1802 CRYSTS

374411 CRYST

(CRYST OR CRYSTS)

143102 ?CRYSTD 94897 CRYSTD

27466 ?CRYSTG

20979 CRYSTG

324049 ?CRYSTN

251430 CRYSTN

2463 CRYSTNS 252759 CRYSTN

(CRYSTN OR CRYSTNS)

2531614 ?CRYSTAL?

(?CRYSTAL? OR ?CRYST OR CRYST OR ?CRYSTD OR CRYSTD OR ?CRYSTG OR CRYSTG OR ?CRYSTN OR CRYSTN)

L6 77 L5 AND ?CRYSTAL?

=> s 16 and polymorp?
 234268 POLYMORP?

L7 9 L6 AND POLYMORP?

=> d 17 1-9 ibib abs hitstr

L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:74254 CAPLUS <<LOGINID::20080623>>

DOCUMENT NUMBER: 148:175738

TITLE: Compositions and methods comprising bicifadine for the

treatment of chronic pain conditions

INVENTOR(S): Skolnick, Phil; Stern, Warren PATENT ASSIGNEE(S): Dov Pharmaceutical, Inc., USA

SOURCE: PCT Int. Appl., 59pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.						KIND DATE			APPL	ICAT		DATE					
WO	2008	0084	74		A2		2008	0117	,	WO 2	 007-1	US15:	 964		20070711			
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,	
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FΙ,	
		GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	
		KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,	
		MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	
		PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	
		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW					
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	
		GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	
		BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM										
US	US 20080014272				A1		2008	0117		US 2	007-		20070710					
PRIORIT	RIORITY APPLN. INFO.:								US 2006-830412P						P 20060711			
										US 2	007-	7757:	A 20070710					

AB The present invention relates to methods, pharmaceutical compns. and kits for treating osteoarthritis—associated pain, inflammation and improving function in a patient comprising a first therapeutic agent which comprises bicifadine or a pharmaceutically acceptable salt, enantiomer, solvate, hydrate, polymorph or prodrug thereof and a second therapeutic agent which comprises a non-steroidal anti-inflammatory drug or derivative thereof. Thus, treatment with bicifadine or ibuprofen alone was no different than treatment with placebo in reducing osteoarthritis—associated pain as measured by the visual analog scale in humans. In contrast, treatment with the combination of bicifadine and ibuprofen resulted in a significant decrease in osteoarthritis—associated pain levels.

IT 181695-72-7, Valdecoxib

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(compns. and methods comprising bicifadine for treatment of chronic pain conditions)

RN 181695-72-7 CAPLUS

CN Benzenesulfonamide, 4-(5-methyl-3-phenyl-4-isoxazolyl)- (CA INDEX NAME)

ACCESSION NUMBER: 2007:1483225 CAPLUS <<LOGINID::20080623>>

DOCUMENT NUMBER: 148:449003

TITLE: Conformational aspects and interaction studies of

heterocyclic drugs

AUTHOR(S): Ponnuswamy, M. N.; Gromiha, M. Michael; Sony, S. M.

Malathy; Saraboji, K.

CORPORATE SOURCE: Department of Crystallography and Biophysics, University of Madras, Chennai, 600 025, India

SOURCE: Topics in Heterocyclic Chemistry (2006), 3(QSAR and

Molecular Modeling Studies in Heterocyclic Drugs I),

81-147

CODEN: THCOA6; ISSN: 1861-9282

PUBLISHER: Springer GmbH

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

A review. Drug discoveries require the iterative synthesis-along with structural studies-of numerous individual analogs of biol. and medicinally active compds. Over half of all known compds. and a large number of pharmaceutical products are heterocyclic in nature. The pharmacol. activity of drugs depends mainly on interaction with their biol. targets, which have a complex three-dimensional structure, and mol. recognition is quided by the nature of the intermol. interactions. Furthermore, the drug's polymorphic nature also adversely affects its abilities. In order to address these factors, the stereochem. anal. of various piperidine and azepine derivs., weak  $\pi$ -interaction anal. of isoxazole, imidazole, indole, quinoline and triazole and polymorphic anal. of two com. drugs, valdecoxib and sildenafil citrate were carried out. Only the crystal structures were used for these analyses, of which the piperidine and azepine derivs., valdecoxib and sildenafil citrate were solved by our group. To understand the structure-activity relationship, the results of these studies were correlated with the crystal structure of their resp. drug mols. that are found in complex with the receptors. Stereochem. anal. showed that the ring conformation and orientation of the substituents correlate well with the active conformation of the drug. The  $\pi$ -systems prefer to form an offset stacking  $\pi \dots \pi$  interaction geometry similar to the phenylalanine-phenylalanine interactions in proteins. polymorphic anal. one of the crystal conformations of valdecoxib proved to have better interaction with its receptor indicating higher activity.

IT 181695-72-7, Valdecoxib

RL: PRP (Properties)

(conformational aspects and interaction studies of heterocyclic drugs)

RN 181695-72-7 CAPLUS

CN Benzenesulfonamide, 4-(5-methyl-3-phenyl-4-isoxazolyl)- (CA INDEX NAME)

L7 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:300882 CAPLUS <<LOGINID::20080623>>

DOCUMENT NUMBER: 147:350747

TITLE: Benzoquinolizine-2-carboxylic acid containing

compositions

INVENTOR(S): Saoji, Dilip Gopalkrishna; Nagori, Rajendra N.;

Shukla, Milind Chintaman; Bhagwat, Sachin Subhash; Gupte, Shrikant Vinayak; Patel, Mahesh Vithalbhai;

Jha, Rasendrakumar; Kukreja, Anil; De Souza, Noel John

PATENT ASSIGNEE(S): Wockhardt Limited, India SOURCE: Indian Pat. Appl., 34pp.

CODEN: INXXBQ

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2003MU01334	A	20060120	IN 2003-MU1334	20031231
PRIORITY APPLN. INFO.:			IN 2003-MU1334	20031231

This invention relates to topical compns. of an antibacterial benzoquinolizine-2-carboxylic acid, incorporated either as the single therapeutic ingredient in hitherto undescribed pharmaceutical compns., or as an ingredient in novel combination with at least one agent selected from a retinoid, an antifungal agent, another antibacterial compound and/or a steroid/non-steroid anti inflammatory agent, to processes for preparation of the compns., to use of the compns. in preparation of a medicament, and to a method of therapeutic or prophylactic use of such a composition for the treatment of dermal, ophthalmic, otic and nasal infections, with or without attendant inflammation.

IT 181695-72-7, Valdecoxib

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (benzoquinolizine carboxylic acid-containing topical compns.)

RN 181695-72-7 CAPLUS

CN Benzenesulfonamide, 4-(5-methyl-3-phenyl-4-isoxazolyl)- (CA INDEX NAME)

L7 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:88439 CAPLUS <<LOGINID::20080623>>

DOCUMENT NUMBER: 146:169380

TITLE: Novel pharmaceutical modified release dosage forms

comprising cyclooxygenase inhibitor

INVENTOR(S): Jain, Rajesh; Jindal, Kour Chand; Singh, Sukhjeet;

Talwar, Munish

PATENT ASSIGNEE(S): Panacea Biotec Ltd., India

SOURCE: PCT Int. Appl., 38pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	TENT :	NO.			KIN	D	DATE			APPL:		DATE					
_					A2 20070125 A3 20070920				WO 2	006-	 IN25	8		2	0060	719	
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							DE,										
							HU,										
						•	LR,							•			
		•	•		•		NI,	,	•	,	,	•	•		,	•	•
							SL,							•			
							ZM,		,	,	,	,	,	,	,	·,	00,
	RW:	,	,	,	,	,	CZ,		DK.	EE.	ES.	FΙ.	FR.	GB.	GR.	HU.	IE.
	•						MC,	,		,	,				,		
		•	•	•	•	•	GN,	•	•	•	•	•	•	•	•	•	•
							NA,				,				,		
							TM,					,	,	,	,	,	,
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KR	,		. 20080414 KR 2008-7041						7041	11	20080220						
PRIORIT	PRIORITY APPLN. INFO.:											IN 2005-DE1899					
							WO 2006-IN258						W 20060719				

AB Pharmaceutical modified release dosage form comprising at least one cyclooxygenase inhibitor or its salts, esters, prodrugs, solvates, hydrates, or derivs. thereof as active agent, with a carrier for controlling the release of the cyclooxygenase enzyme inhibitor is provided. The dosage form preferably provides a release of not more than 60% of the cyclooxygenase enzyme inhibitor in 1 h and not less than 75% of the cyclooxygenase enzyme inhibitor after 12 h when tested in accordance with the dissoln. method using distilled water with 2.0% sodium lauryl sulfate as the dissoln. medium or in accordance with a dissoln. method employing pH 7.0 phosphate buffer with 2.0% sodium lauryl sulfate as the dissoln. medium or in accordance with a dissoln. method employing 0.001N HCl with 1.0% sodium lauryl sulfate as dissoln. medium. Further, the pharmaceutical composition of the present invention when tested in a group of healthy humans preferably achieves a mean peak plasma concentration (Cmax)

after

at least about 1 h of administration of the dosage form. The present invention also provides process of preparing such dosage form compns. and prophylactic and/or therapeutic methods of using such dosage forms.

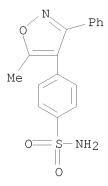
IT 181695-72-7, Valdecoxib

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical modified release dosage forms comprising cyclooxygenase inhibitor)

RN 181695-72-7 CAPLUS

CN Benzenesulfonamide, 4-(5-methyl-3-phenyl-4-isoxazolyl)- (CA INDEX NAME)



L7 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1330315 CAPLUS <<LOGINID::20080623>>

DOCUMENT NUMBER: 144:57579

TITLE: Process for obtaining form A of valdecoxib suitable

for pharmaceutical formulations

INVENTOR(S): Thakashinamoorthy, Chandiran; Jesudoss, Mercy

Gnanadeepam; Hariharasubramanian, Meera; Seetharaman,

Subramanian Sankara

PATENT ASSIGNEE(S): India

SOURCE: PCT Int. Appl., 14 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	ENT :	NO.			KIN	D	DATE			APPL	ICAT	ION :		DATE			
WO 2005120499				A1	_	2005	 1222	,	WO 2	004-	 IN16	 2		2	0040	 610	
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		SN,	TD,	ΤG													

PRIORITY APPLN. INFO.: WO 2004-IN162 20040610

AB The invention provides for a reactive crystallization procedure for obtaining polymorphic Form A of valdecoxib with desirable particle size characteristics without milling, making it useful as an active ingredient in the preparation of pharmaceutical composition For example,

valdecoxib 250 g was added in to aqueous sodium hydroxide 5000 mL at 500 C and stirred. The content was heated to  $60^{\circ}$  C to get a clear solution. The pH of the solution after dissoln, was 11.6. To the alkaline solution was added aqueous

hydrochloric acid 1280 mL through dip pipe. During the acidification the product ppts. from the solution of pH 1.5. The precipitated product was filtered

immediately and the product was then washed with water 6500 mL. The product obtained was dried at 70 to  $75^{\circ}$  C under reduced pressure

till the water content in the product was less then  $0.3\ \%$  weight/weight to yield

the product 235 g.

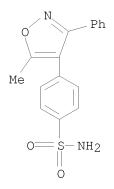
IT 181695-72-7, Valdecoxib

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(process for obtaining form A of valdecoxib suitable for pharmaceutical formulations)

RN 181695-72-7 CAPLUS

CN Benzenesulfonamide, 4-(5-methyl-3-phenyl-4-isoxazolyl)- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:823681 CAPLUS <<LOGINID::20080623>>

DOCUMENT NUMBER: 143:216704

TITLE: Crystalline polymorphs of a CXC-chemokine receptor ligand

INVENTOR(S): Hu, Mengwei; Yu, Younong; Dwyer, Michael; Taveras, Arthur G.; Kim-Meade, Agnes; Yin, Jianguo; Fu,

Xiaoyong; Mcallister, Timothy; Zhang, Shuyi; Klopfer,

Kevin

PATENT ASSIGNEE(S): Schering Corporation, USA SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2005075447	A1 20050	0818 WO 2005-US3414	20050128
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GE, GH, GM	, HR, HU, ID,	IL, IN, IS, JP, KE, KG,	KP, KR, KZ, LC,
LK, LR, LS	, LT, LU, LV,	MA, MD, MG, MK, MN, MW,	MX, MZ, NA, NI,
NO, NZ, OM	, PG, PH, PL,	PT, RO, RU, SC, SD, SE,	SG, SK, SL, SY,
TJ, TM, TN	, TR, TT, TZ,	UA, UG, US, UZ, VC, VN,	YU, ZA, ZM, ZW
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EE, ES, FI	, FR, GB, GR,	HU, IE, IS, IT, LT, LU,	MC, NL, PL, PT,

RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2005210504 20050818 AU 2005-210504 Α1 20050128 CA 2554709 20050818 CA 2005-2554709 20050128 Α1 US 20050192345 US 2005-45772 Α1 20050901 20050128 EP 1723131 20061122 EP 2005-712748 20050128 Α1 AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU CN 1914187 20070214 CN 2005-80003507 20050128 Α BR 2005007329 20070703 BR 2005-7329 20050128 Α JP 2007519751 Τ 20070719 JP 2006-551613 20050128 MX 2006PA08599 Α 20060828 MX 2006-PA8599 20060728 IN 2006CN02800 Α 20070608 IN 2006-CN2800 20060728 NO 2006003841 20061027 NO 2006-3841 20060829 Α PRIORITY APPLN. INFO.: US 2004-540487P Ρ 20040130 WO 2005-US3414 W 20050128

AB The present invention relates to 4 distinct crystalline polymorphs of a monohydrate of 2-hydroxy-N,N-dimethyl-3-[[2-[[1-(5-methyl-2-furanyl)propyl]amino]-3,4-dioxo-1-cyclobuten-1-yl]amino]benzamide. These 4 polymorphic forms, herein referred to as Forms I, II, III and IV are active as a CXC-chemokine receptor ligands. The invention is further directed to formulations, methods of treatment, and processes of synthesis of these polymorphic forms.

IT 181695-72-7, Valdecoxib

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (crystalline polymorphs of CXC-chemokine receptor liquand)

RN 181695-72-7 CAPLUS

CN Benzenesulfonamide, 4-(5-methyl-3-phenyl-4-isoxazolyl)- (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:565095 CAPLUS <<LOGINID::20080623>>

DOCUMENT NUMBER: 141:111581

TITLE: Benzoquinolizine-2-carboxylic acid-containing

compositions

INVENTOR(S): Saoji, Dilip G.; Nagori, Rajendra N.; Shukla, Milind

C.; Bhagwat, Sachin S.; Gupte, Shrikant V.; Patel, Mahesh V.; Jha, Rasendrakumar; Kukreja, Anil; De

Souza, Noel J.

PATENT ASSIGNEE(S): India

SOURCE: PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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CA	2512	190			A1		2004	0715		CA 2	003-	2512	190		2	0031	231	
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US	2004	0176	337		A1		2004	0909		US 2	003-	7499.	33		2	0031	231	
EP	1589	972			A1		2005	1102		EP 2	003-	8108	61		2	0031	231	
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# OTHER SOURCE(S): MARPAT 141:111581

- The invention relates to topical compns. of an antibacterial benzoquinolizine-2-carboxylic acid, incorporated either as the single therapeutic ingredient in hitherto undescribed pharmaceutical compns., or as an ingredient in novel combination with at least one agent selected from a retinoid, an antifungal agent, another antibacterial compound and/or a steroidal/nonsteroidal anti-inflammatory agent. Processes for preparation of the compns., the use of the compns. and a method of therapeutic or prophylactic use of such a composition for the treatment of dermal, ophthalmic, otic and nasal infections, with or without attendant inflammation are disclosed. Thus, a gel contained RS-(+)-9-fluoro-6,7-dihydro-8-(4-hydroxypiperidin-1-yl)-5-methyl-1-oxo-1H,5H-benzo[i,j]quinolizine-2-carboxylic acid 1.00, Carbopol 1.20, NaOH 0.112, diethanolamine 0.36, disodium edetate 0.10, sodium sulfite 0.05, and water qs to 100%.
- IT 181695-72-7, Valdecoxib
  - RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (benzoquinolizinecarboxylic acid-containing topical compns.)
- RN 181695-72-7 CAPLUS
- CN Benzenesulfonamide, 4-(5-methyl-3-phenyl-4-isoxazolyl)- (CA INDEX NAME)

L7 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:269999 CAPLUS <<LOGINID::20080623>>

DOCUMENT NUMBER: 140:309372

TITLE: Pharmaceutical compositions with improved dissolution INVENTOR(S): Remenar, Julius; Peterson, Matthew; Almarsson, Om;

Guzman, Hector; Chen, Hongming; Tawa, Mark; Oliveira,

Mark

PATENT ASSIGNEE(S): Transform Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 185 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 18

PATENT INFORMATION:

PAT	ENT	NO.			KIND DATE			-	APPL	ICAT	ION 1		DATE					
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                                                                W
                                                                  20031229
                                            WO 2004-US400
                                                                W
                                                                  20040108
AB
     The invention relates to methods of screening mixts. containing a
     pharmaceutical compound and an excipient to identify properties of the
     pharmaceutical compound/excipient combination that retard solid-state
     nucleation. The invention further relates to increasing the solubility,
     dissoln. and bioavailability of a drug with low solubility in gastric fluids
     conditions by combining the drug with a precipitation retardant and an optional
     enhancer. For example, celecoxib sodium salt was prepared from 126.3 mg of
     celecoxib in isopropanol and sodium ethoxide (21% ethanol solution). Water
     was added to a 1:4 mixture of celecoxib sodium salt and polyvinylpyrrolidone
     to obtain a clear solution The solution was stable for at least 15 min, after
     which time, crystals of neutral celecoxib began to form.
     Crystalline neutral celecoxib did not dissolve when added to aqueous
     polyvinylpyrrolidone or when water was added to a dry blend of neutral
     crystalline celecoxib and polyvinylpyrrolidone.
ΙT
     181695-72-7, Valdecoxib 676458-07-4, Valdecoxib
     potassium 676458-08-5, Valdecoxib sodium
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RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES

(Uses)

(compns. with improved dissoln. and bioavailability of drugs with low solubility)

RN 181695-72-7 CAPLUS

CN Benzenesulfonamide, 4-(5-methyl-3-phenyl-4-isoxazolyl)- (CA INDEX NAME)

RN 676458-07-4 CAPLUS

CN Benzenesulfonamide, 4-(5-methyl-3-phenyl-4-isoxazolyl)-, potassium salt (1:1) (CA INDEX NAME)

K

RN 676458-08-5 CAPLUS

CN Benzenesulfonamide, 4-(5-methyl-3-phenyl-4-isoxazolyl)-, sodium salt (1:1) (CA INDEX NAME)

● Na

L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:107158 CAPLUS <<LOGINID::20080623>>

DOCUMENT NUMBER: 136:161365

TITLE: Aldosterone antagonist-cyclooxygenase-2 inhibitor

combination therapy to prevent or treat

inflammation-related cardiovascular disorders

INVENTOR(S): Rocha, Ricardo; Zack, Marc D.; McMahon, Ellen G.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA SOURCE: PCT Int. Appl., 273 pp.

CODEN: PIXXD2

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FAMILY ACC. NUM. COUNT: 6

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR BR 2001017195 BR 2001-17195 Α 20050209 20011213 CN 1582154 20050216 CN 2001-823946 20011213 Α 20050602 JP 2003-552305 20011213 JP 2005516015 Τ US 20030191100 Α1 20031009 US 2002-243876 20020913 MX 2004PA05803 Α 20041101 MX 2004-PA5803 20040614 US 20070191324 Α1 20070816 US 2006-613879 20061220 PRIORITY APPLN. INFO.: US 2000-221364P Ρ 20000727 US 2001-261497P Ρ 20010112 US 1999-164390P Ρ 19991109 US 2000-211064P Ρ 20000613 US 2000-211250P Ρ 20000613 US 2000-211253P Ρ 20000613 US 2000-211264P Ρ 20000613 US 2000-211311P Ρ 20000613 US 2000-211340P Ρ 20000613 US 2000-211451P Ρ 20000613 US 2000-211459P Ρ 20000613 US 2000-221358P Ρ 20000727 US 2000-233056P P 20000914 US 2000-709253 A2 20001108 US 2000-712543 A1 20001114 US 2000-713348 B2 20001114 US 2001-261352P Ρ 20010112 WO 2001-US23601 W 20010726 WO 2001-US48419 W 20011213 US 2003-682527 A1 20031009

OTHER SOURCE(S): MARPAT 136:161365

AB Combinations of aldosterone blockers and Cyclooxygenase-2 inhibitors useful in the treatment of inflammation-related cardiovascular disorders are disclosed.

IT 181695-72-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(aldosterone antagonist-cyclooxygenase-2 inhibitor combination therapy to prevent or treat inflammation-related cardiovascular disorders)

RN 181695-72-7 CAPLUS

CN Benzenesulfonamide, 4-(5-methyl-3-phenyl-4-isoxazolyl)- (CA INDEX NAME)